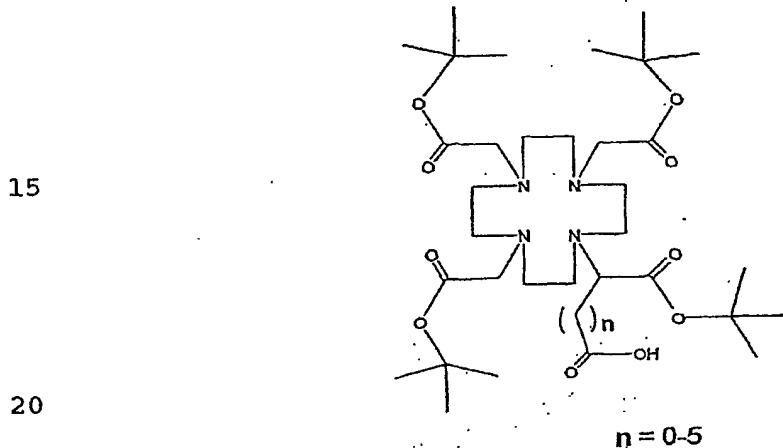


CLAIMS

1. Polyazamacrocyclic compounds for radiometal labeling, comprising an N_n system, wherein n is 4, 5 or 6, with varying ring size, and wherein at least one of the N atoms is substituted with a free carboxylate group for coupling to an amino function in a bioactive effector molecule, while all N atoms carry a protected sidechain.

2. Compound as claimed in claim 1 having the general formula:



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3. Compound as claimed in claim 1 or 2, which compound is 1-(1-carboxy-3-carbotertbutoxypropyl)-

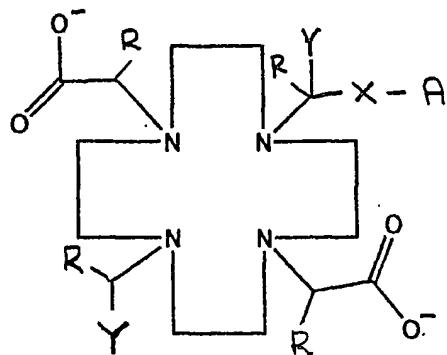
4,7,10(carbotertbutoxymethyl)-1,4,7,10-

tetraazacyclododecane (DOTAGA(tBu)₄).

4. Chelating compounds for labeling bioactive molecules with a radiometal, having the general formula:

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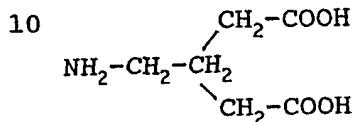


in which:

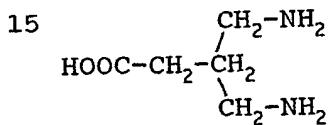
both Y groups may be positioned either trans as shown or cis;

A is an effector molecule, such as a peptide, in particular octreotide, CCK, substance P, gastrine, a protein, in particular an antibody or enzyme, sugars or radiosensitizing agents, like doxorubicin;

5 R is a hydrogen, a C₁-C₃ alkyl or a alcohol;
 X is a spacer, in particular (CH₂)_n-X', in which n is 1-10 and X' is COOH, NH₂, SH, OH or O-halogen, in which halogen is in particular Br, I or Cl or a molecule of the formula



or of the formula



Y is COO⁻, CH₂CONH₂, CH₂CH₂OH,
 optionally complexed with a radiometal.

20 5. Compounds as claimed in claim 4, wherein R is hydrogen, n is 1, X' is COOH, Y is COO⁻ and A is as defined in claim 3.

6. Compound as claimed in claim 5, wherein R is hydrogen, n is 1, X' is COOH, Y is COO⁻ and A is
 25 octreotide or octreotate.

7. Compound as claimed in claim 4, wherein R is COOH, n is 1, X' is COOH, Y is COO⁻ and A is as defined in claim 3.

8. Compound as claimed in claim 7, wherein R is
 30 COOH, n is 1, X' is COOH, Y is COO⁻ and A is octreotide or octreotate.

9. Compounds as claimed in claim 4, selected from the group consisting of DOTAtyr³octreotide,
 DOTAtyr³octreotate, DOTA3tyr³octreotide,
 35 DOTA3tyr³octreotate, DOTAt3tyr³octreotide,
 DOTAta.13tyr³octreotate.

10. Use of compounds as claimed in claims 1-3
for the preparation of compounds as claimed in claims 4-
9.

11. Method for the preparation of radiometal
5 labeled bioactive molecules, comprising the steps of:

a) synthesizing compounds as claimed in claims
1-3 having protected side chains on the N atoms and a
free carboxylate group;

b) coupling a bioactive molecule to the free
10 carboxylate group;

c) deprotecting the protected side chains; and
d) labeling the chelator structure thus
obtained with a desired radiometal.

12. Compounds as claimed in claims 4-9 labeled
15 with a radiometal for use in diagnosis and therapy.

13. Use of compounds as claimed in claims 4-9
labeled with a radiometal for the preparation of a
diagnostic or therapeutical composition for treatment of
various diseases.

20 14. Use as claimed in claim 13, wherein the
radiometal label is ^{90}Y .